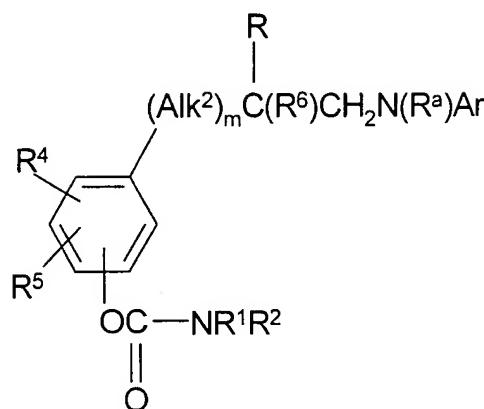


WHAT IS CLAIMED IS:

1. A compound of formula I:



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wherein

R¹ and R² are independently selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, cycloalkyl, substituted cycloalkyl, or R¹ and R², together with the nitrogen atom to which they are attached, are joined to form an optionally substituted heterocyclic ring provided that said substituted alkyl, substituted alkenyl and substituted cycloalkyl do not carry an aryl, substituted aryl, heteroaryl or substituted heteroaryl group;

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15

R⁴ and R⁵ are independently selected from the group consisting of -L²(Alk³), L³(R⁷), in which L² and L³ are independently a covalent bond or a linker atom or group, t is zero or the integer 1, u is an integer 1, 2, or 3, Alk³ is an aliphatic or heteroaliphatic chain and R⁷ is hydrogen or halogen atom or a group selected from alkyl, -OR⁸ [where R⁸ is a hydrogen atom or an optionally substituted alkyl group], -SR⁸, -NR⁸R⁹ [where R⁸ is a hydrogen atom or an optionally substituted alkyl group], -NO₂, -CN, -CO₂R⁸, -SO₃H, -SOR⁸, -SO₂R⁸, -OCO₂R⁸, -CONR⁸R⁹, -CSNR⁸R⁹, -COR⁸, -OCOR⁸, -N(R⁸)COR⁹, -N(R⁸)CSR⁹,

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-SO₂N(R⁸)(R⁹), -N(R⁸)SO₂R⁹, -N(R⁸)CON(R⁹)(R¹⁰), [where R¹⁰ is a hydrogen atom or an optionally substituted alkyl group], -N(R⁸)CSN(R⁹)(R¹⁰) or -N(R⁸)SO₂N(R⁹)(R¹⁰);

Alk² is a straight or branched alkylene chain;

5

m is zero or an integer 1;

R⁶ is a hydrogen atom or a methyl group;

R is a carboxylic acid (-CO₂H) or a derivative thereof;

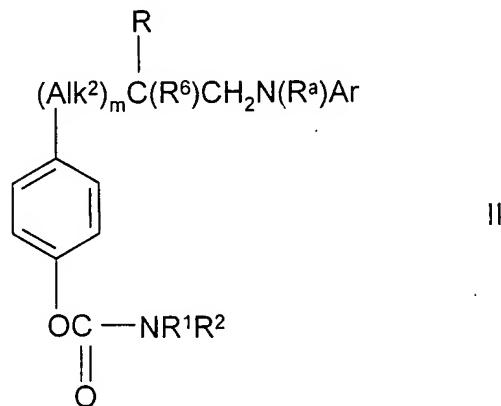
R^a is a hydrogen or a methyl group;

Ar is an optionally substituted aromatic or heteroaromatic group; and

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the salts, solvates, hydrates and N-oxides thereof.

2. A compound of formula (2):



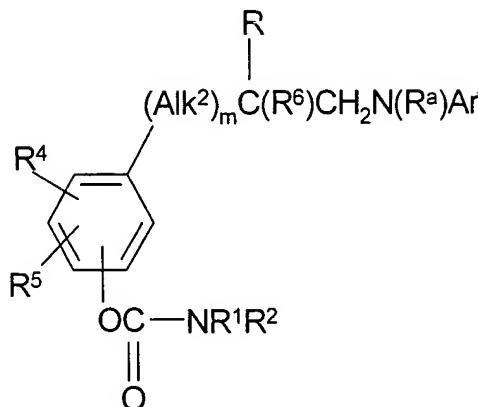
wherein R, R^a, R¹, R², R⁶, Alk², m and Ar are as defined above and the salts, solvates, hydrates and N-oxides thereof.

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3. The compound of Claim 2 wherein m is one, Alk² is methylene, R⁶ is hydrogen, R^a is hydrogen, and Ar is a nitrogen containing heteroaryl.

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4. A compound of the formula:



wherein

R¹ and R² are independently selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkenyl, substituted alkenyl, cycloalkyl, substituted cycloalkyl, or R¹ and R², together with the nitrogen atom to which they are attached, are joined to form an optionally substituted heterocyclic ring provided that said substituted alkyl, substituted alkenyl and substituted cycloalkyl do not carry an aryl, substituted aryl, heteroaryl or substituted heteroaryl group;

R⁴ and R⁵ are independently selected from the group consisting of -L²(Alk³)_tL³(R⁷)_u in which L² and L³ are independently a covalent bond or a linker atom or group, t is zero or the integer 1, u is an integer 1, 2, or 3, Alk³ is an aliphatic or heteroaliphatic chain and R⁷ is hydrogen or halogen atom or a group selected from alkyl, -OR⁸ [where R⁸ is a hydrogen atom or an optionally substituted alkyl group], -SR⁸, -NR⁸R⁹ [where R⁸ is a hydrogen atom or an optionally substituted alkyl group], -NO₂, -CN, -CO₂R⁸, -SO₃H, -SOR⁸, -SO₂R⁸, -OCO₂R⁸, -CONR⁸R⁹, -CSNR⁸R⁹, -COR⁸, -OCOR⁸, -N(R⁸)COR⁹, -N(R⁸)CSR⁹, -SO₂N(R⁸)(R⁹), -N(R⁸)SO₂R⁹, -N(R⁸)CON(R⁹)(R¹⁰), [where R¹⁰ is a hydrogen atom or an optionally substituted alkyl group], -N(R⁸)CSN(R⁹)(R¹⁰) or -N(R⁸)SO₂N(R⁹)(R¹⁰);

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Alk² is a straight or branched alkylene chain;

m is zero or an integer 1;

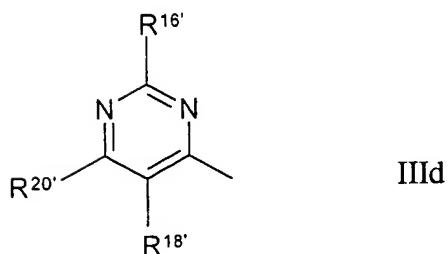
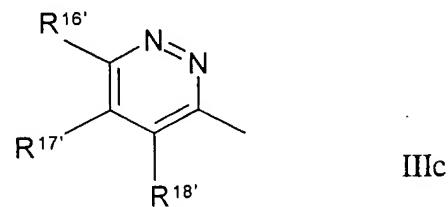
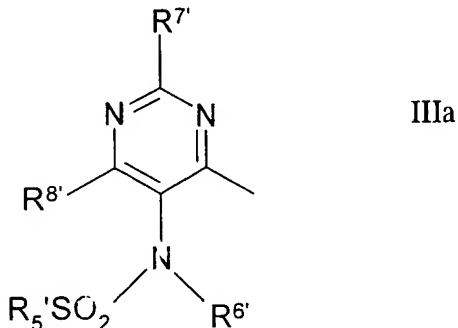
R⁶ is a hydrogen atom or a methyl group;

R is a carboxylic acid (-CO₂H) or a derivative thereof;

5 R^a is a hydrogen or a methyl group;

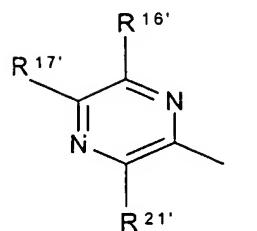
and Ar is selected from the group consisting of IIIa, IIIc, IIId, IIIe and

IIIIf:



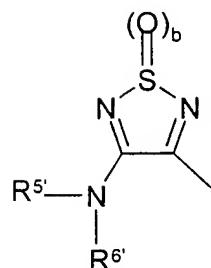
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5



IIIe

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IIIff

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wherein

R^{5'} is selected from the group consisting of alkyl, substituted alkyl, alkenyl, substituted alkenyl, aryl, substituted aryl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, heterocyclic, substituted heterocyclic, heteroaryl and substituted heteroaryl;

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R^{6'} is selected from the group consisting of hydrogen, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, heterocyclic, substituted heterocyclic, aryl, substituted aryl, heteroaryl, substituted heteroaryl, and -SO₂R^{10'} where R^{10'} is selected from the group consisting of alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, cycloalkenyl, substituted cycloalkenyl, heterocyclic, substituted heterocyclic, aryl, substituted aryl, heteroaryl, substituted heteroaryl;

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R^{7'} and R^{8'} are independently selected from the group consisting of hydrogen, alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, aryl,

substituted aryl, heteroaryl, substituted heteroaryl, heterocyclic, substituted heterocyclic and halogen;

R^{16'} and R^{17'} are independently selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkoxy, substituted alkoxy, amino, substituted amino, cycloalkyl, substituted cycloalkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, heterocyclic, substituted heterocyclic and halogen; and

R^{18'} is selected from the group consisting of alkyl, substituted alkyl, alkoxy, substituted alkoxy, amino, substituted amino, cycloalkyl, substituted cycloalkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, heterocyclic and substituted heterocyclic;

R^{20'} is selected from the group consisting of hydrogen, alkyl, substituted alkyl, alkoxy, substituted alkoxy, cycloalkyl, substituted cycloalkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, heterocyclic, substituted heterocyclic and halogen;

R^{21'} is selected from the group consisting of alkyl, substituted alkyl, alkoxy, substituted alkoxy, amino, substituted amino, cycloalkyl, substituted cycloalkyl, aryl, substituted aryl, heterocyclic and substituted heterocyclic;

b is 1 or 2;

and enantiomers, diastereomers and pharmaceutically acceptable salts thereof.

5. The compound of any of Claims 1 to 4 wherein R¹ and R² are both methyl.

25 6. The compound of any of Claims 1 to 4 wherein R¹ and R², together with the nitrogen atom to which they are attached form a morpholino or thiomorpholino ring.

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7. A pharmaceutical composition comprising a pharmaceutically acceptable excipient and an effective amount of a compound according to any of Claims 1-6.

5 8. A method for binding VLA-4 in a biological sample which method comprises contacting the biological sample with a compound according to any of Claims 1-6 under conditions wherein said compound binds to VLA-4.

10 9. A method for treating an inflammatory condition in a mammalian patient which condition is mediated by VLA-4 which method comprises administering to said patient a therapeutically effective amount of a pharmaceutical composition of Claim 7.

15 10. The method according to Claim 9 wherein said inflammatory condition is selected from the group consisting of asthma, Alzheimer's disease, atherosclerosis, AIDS dementia, diabetes, inflammatory bowel disease, multiple sclerosis, rheumatoid arthritis, tissue transplantation, tumor metastasis, meningitis, encephalitis, stroke, nephritis, retinitis, atopic dermatitis, psoriasis, myocardial ischemia and acute leukocyte-mediated lung injury.

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